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135:152986

TITLE:

Preparation of C7 carbonate substituted taxanes for

use as antitumor agents

INVENTOR(S):

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PATENT ASSIGNEE(S):

Florida State University Research Foundation, Inc.,

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			NZ, PL, PT, RO, RU,					
			UA, .UG, UZ, VN, YU,					
BY, KG, KZ,	MD, RU,	TJ, TM						
RW: GH, GM, KE,	LS, MW,	MZ, SD,	SL, SZ, TZ, UG, ZW,	AT, BE, CH, CY,				
DE, DK, ES,	FI, FR,	GB, GR,	IE, IT, LU, MC, NL,	PT, SE, TR, BF,				
BJ, CF, CG,	CI, CM,		GW, ML, MR, NE, SN,					
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IE, SI, LT,								
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IE, SI, LT,				NH, SE, MC, FI,				
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		20040520	US 2003-680649					
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OTHER SOURCE(S):	MARPAT	135:15298	50					

GI

AB Taxanes I (R = acyloxy; R1 = carbonate; R2 = keto, hydroxy, acyloxy; R3 = hydroxy; R4 = hydrido, hydroxy; X = substituted or unsubstituted alkyl, alkenyl, alkynyl, Ph, heterocyclo; X1 = COX2, CO2X2, CONHX2; X2 = hydrocarbyl, substituted hydrocarbyl, heterocyclo; Ac = acetyl) having a carbonate substituent at C(7) were prepared and tested as antitumor agents. II was prepared and had in vitro cytotoxicity of ID50 of < 1 nm against HCT116 cells. Pharmaceutical compns. containing I are described.

IT 352427-29-3P 352698-18-1P 352698-19-2P

II

352427-29-3P 352698-18-1P 352698-19-2P 352698-20-5P 352698-21-6P 352698-22-7P 352698-23-8P 352698-24-9P 352698-25-0P 352698-26-1P 352698-28-3P 352698-29-4P 352698-30-7P 352698-31-8P 352698-32-9P 352698-33-0P 352698-34-1P 352698-35-2P 352698-36-3P 352698-34-1P 352698-39-6P 352698-41-0P 352698-42-1P 352698-43-2P 352698-44-3P 352698-45-4P 352698-46-5P 352698-47-6P 352698-48-7P 352698-53-4P 352698-55-6P 352698-55-6P 352698-55-7P 352698-57-8P 352698-58-9P 352698-62-5P 352698-60-3P 352698-61-4P 352698-62-5P 352698-63-6P 352698-64-7P 352698-65-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of C7 carbonate substituted taxanes for use as antitumor agents)

RN 352427-29-3 CAPLUS

CN

352698-66-9P

2-Furanpropanoic acid, β-[[(1,1-dimethylpropoxy)carbonyl]amino]-α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[[(phenylmethoxy)carbonyl]oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-18-1 CAPLUS CN 2-Thiophenepropanoic

2-Thiophenepropanoic acid, α -hydroxy- β -[[(1-methylethoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-19-2 CAPLUS

CN 2-Thiophenepropanoic acid, α-hydroxy-β-[[(1methylethoxy)carbonyl]amino]-, (2aR, 4S, 4aS, 6R, 9S, 11S, 12S, 12aR, 12bS)-12b(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-6, 11-dihydroxy-4a, 8, 13, 13tetramethyl-5-oxo-7, 11-methano-1H-cyclodeca[3, 4]benz[1, 2-b]oxet-9-yl
ester, (αR, βR)- (9CI) (CA INDEX NAME)

RN 352698-20-5 CAPLUS
2-Thiophenepropanoic acid, α -hydroxy- β -[(3-methyl-1-oxo-2-butenyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA

Absolute stereochemistry.

INDEX NAME)

RN 352698-21-6 CAPLUS
2-Thiophenepropanoic acid, β -(benzoylamino)- α -hydroxy-,
(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-
[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

RN 352698-22-7 CAPLUS

CN 2-Thiophenepropanoic acid, β-[(2-furanylcarbonyl)amino]-αhydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1Hcyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 352698-23-8 CAPLUS

CN 2-Thiophenepropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4s,4as,6R,9s,11s,12s,12aR,12bs)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

RN 352698-24-9 CAPLUS

CN 2-Thiophenepropanoic acid, α-hydroxy-β-[(3-methyl-1-oxo-2-butenyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-25-0 CAPLUS

CN 2-Thiophenepropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 352698-26-1 CAPLUS

CN 2-Thiophenepropanoic acid, β-[(2-furanylcarbonyl)amino]-αhydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12bdodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1Hcyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 352698-28-3 CAPLUS

CN 2-Thiophenepropanoic acid, α-hydroxy-β-[[(2methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)(9CI) (CA INDEX NAME)

RN 352698-29-4 CAPLUS

CN 2-Thiophenepropanoic acid, α-hydroxy-β-[[(2methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl
ester, (αR,βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-30-7 CAPLUS

CN 2-Thiophenepropanoic acid, β -(benzoylamino)- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

RN 352698-31-8 CAPLUS
2-Thiophenepropanoic acid, α -hydroxy- β -[(2-thienylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-32-9 CAPLUS 3-Furanpropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12- (benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4- [(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

RN 352698-33-0 CAPLUS
2-Thiophenepropanoic acid, α -hydroxy- β -[(2-thienylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-ylester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-34-1 CAPLUS 3-Thiophenepropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12- (benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

RN 352698-35-2 CAPLUS

CN 4-Hexenoic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-2-hydroxy-5-methyl-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-36-3 CAPLUS

CN 3-Thiophenepropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

RN 352698-38-5 CAPLUS

CN 4-Hexenoic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-2-hydroxy-5-methyl-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-39-6 CAPLUS

CN 2-Furanpropanoic acid, β-[[(1,1-dimethylethoxy)carbonyl]amino]α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1Hcyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA
INDEX NAME)

RN 352698-41-0 CAPLUS

CN 3-Furanpropanoic acid, α-hydroxy-β-[[(2methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b (acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS) (9CI) (CA INDEX NAME)

Absolute stereocnemistry.

RN 352698-42-1 CAPLUS

CN Cyclopropanepropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

RN 352698-43-2 CAPLUS

CN 3-Furanpropanoic acid, α-hydroxy-β-[[(2-methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-ylester, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-44-3 CAPLUS

Absoluce stereochemistry.

RN 352698-46-5 CAPLUS 3-Thiophenepropanoic acid, α -hydroxy- β -[[(2-methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)-(9CI) (CA INDEX NAME)

RN 352698-47-6 CAPLUS

CN 4-Hexenoic acid, 2-hydroxy-5-methyl-3-[[(2-methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

Double bond geometry as shown.

RN 352698-50-1 CAPLUS 2-Thiophenepropanoic acid, α -hydroxy- β -[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

RN 352693-51-2 CAPLUS
CN 2-Furanpropanoic acid, α-hydroxy-β-[(3-methyl-1-oxo-2-butenyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[[(phenylmethoxy)carbonyl]oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 352698-53-4 CAPLUS
CN 2-Furanpropanoic acid, α-hydroxy-β-[(3-methyl-1-oxo-2-butenyl)amino]-, (2aR,45,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA INDEX NAME)

RN 352698-54-5 CAPLUS
CN 2-Furanpropanoic acid, β-[[(1,1-dimethylethoxy)carbonyl]amino]α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy4a,8,13,13-tetramethyl-5-oxo-4-[[(phenylmethoxy)carbonyl]oxy]-7,11-methano1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 352698-55-6 CAPLUS
CN 2-Furanpropanoic acid, α-hydroxy-β-[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA INDEX NAME)

RN 352698-56-7 CAPLUS

CN 2-Thiophenepropanoic acid, α-hydroxy-β-[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[[(phenylmethoxy)carbonyl]oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RIJ 352698-57-8 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

RN 352698-58-9 CAPLUS
3-Furanpropanoic acid, β-[[(1,1-dimethylethoxy)carbonyl]amino]α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,3,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-59-0 CAPLUS
CN 3-Furanpropanoic acid, α-hydroxy-β-([(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11s,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

RN 352698-60-3 CAPLUS
CN 3-Furanpropanoic acid, α-hydroxy-β-[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 352698-61-4 CAPLUS 3-Thiophenepropanoic acid, α -hydroxy- β -[[(2-methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-ylester, (α R, β S)- (9CI) (CA INDEX NAME)

RN 352698-62-5 CAPLUS CN 3-Thiophenepropanoic acid

3-Thiophenepropanoic acid, α -hydroxy- β -[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 352698-63-6 CAPLUS

CN 3-Thiophenepropanoic acid, α-hydroxy-β-[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

RN 352698-64-7 CAPLUS Cyclopropanepropanoic acid, α -hydroxy- β -[[(2-methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl

(CA INDEX NAME)

Absolute stereochemistry.

ester, $(\alpha R, \beta S)$ - (9CI)

RN 352698-65-8 CAPLUS
CN Cyclopropanepropanoic acid, α-hydroxy-β-[[(2methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)(9CI) (CA INDEX NAME)

RN 352698-66-9 CAPLUS

CN Cyclopropanepropanoic acid, β-[[(1,1-dimethylethoxy)carbonyl]amino]α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12bdodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1Hcyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:581864 CAPLUS

DOCUMENT NUMBER: 135:152983

TITLE: Preparation and formulation of taxanes having improved

solubility for pharmaceutical use as antitumor agents

INVENTOR(S): Holton, Robert A.

PATENT ASSIGNEE(S): Florida State University Research Foundation, Inc.,

USA

SOURCE: PCT Int. Appl., 319 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Taxanes, such as I [R7, R10 = H, acyl, carboxy, carbamoyl, etc.; X3 = alkyl, alkenyl, alkynyl, Ph, substituted Ph, heteroaryl; X5 = H, acyl, carboxyl, carboxamide, etc.] with improved solubility, were prepared for use as antitumor agents. Thus, taxotere analog II was prepared via esterification of baccatin III derivative III (R7 = COCH2Me, R10 = SiEt3) with β -lactam IV followed by a deprotection step using HF. The prepared taxanes were tested for cytotoxic activity against HCT116 cells. Pharmaceutical formulations of the prepared taxanes were also presented.

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and formulation of taxanes having improved solubility for pharmaceutical use as antitumor agents)

RN 352427-29-3 CAPLUS

CN

2-Furanpropanoic acid, β -[[(1,1-dimethylpropoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[[(phenylmethoxy)carbonyl]oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)-(β CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-18-1 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[[(1-

methylethoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-19-2 CAPLUS
CN 2-Thiophenepropanoic acid, α-hydroxy-β-[[(1methylethoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12b3)-12b
 (acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy] 2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13 tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl
 ester, (αR,βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

RN 352698-21-6 CAPLUS

CN 2-Thiophenepropanoic acid, β-(benzoylamino)-α-hydroxy-,
 (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4 [(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11 dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

RN 352698-22-7 CAPLUS

CN 2-Thiophenepropanoic acid, β-[(2-furanylcarbonyl)amino]-αhydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1Hcyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA
INDEX NAME)

RN 352698-23-8 CAPLUS

CN 2-Thiophenepropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-24-9 CAPLUS 2-Thiophenepropanoic acid, α -hydroxy- β -[(3-methyl-1-oxo-2-butenyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-

cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA INDEX NAME)

RN 352698-25-0 CAPLUS

CN 2-Thiophenepropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 352698-26-1 CAPLUS

CN 2-Thiophenepropanoic acid, β-[(2-furanylcarbonyl)amino]-αhydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12bdodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1Hcyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA
INDEX NAME)

RN 352698-28-3 CAPLUS

CN 2-Thiophenepropanoic acid, α-hydroxy-β-[[(2methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-29-4 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[[(2-methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-ylester, (α R, β R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-32-9 CAPLUS

CN 3-Furanpropanoic acid, β-[[(1,1-dimethylethoxy)carbonyl]amino]α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1Hcyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 352698-33-0 CAPLUS
2-Thiophenepropanoic acid, α -hydroxy- β -[(2-thienylcarbonyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-ylester, (α R, β R)- (9CI) (CA INDEX NAME)

RN 352698-34-1 CAPLUS

CN 3-Thiophenepropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-35-2 CAPLUS

CN 4-Hexenoic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino] 2-hydroxy-5-methyl-, (2aR,4S,4aS,5R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (2R,3S)- (9CI) (CA INDEX NAME)

RN 352698-36-3 CAPLUS

CN 3-Thiophenepropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-38-5 CAPLUS

CN 4-Hexenoic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-2-hydroxy-5-methyl-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (2R,3S)- (9CI) (CA INDEX NAME)

RN 352698-39-6 CAPLUS

CN 2-Furanpropanoic acid, β-[[(1,1-dimethylethoxy)carbonyl]amino]α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1Hcyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 352698-41-0 CAPLUS

CN 3-Furanpropanoic acid, α -hydroxy- β -[[(2-methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)-(9CI) (CA INDEX NAME)

RN 352698-42-1 CAPLUS

CN Cyclopropanepropanoic acid, β-[[(1.1-dimethylethoxy)carbonyl]amino]α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1Hcyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

RN 352698-43-2 CAPLUS

CN 3-Furanpropanoic acid, α-hydroxy-β-[[(2-methylpropoxy)carbonyl]amino]-, (2ak,4S,4aS,5k,9S.11S,12S,12ak,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-ylester, (αk,βS)- (9CI) (CA INDEX NAME)

RN 352698-44-3 CAPLUS

CN 4-Hexenoic acid, 2-hydroxy-5-methyl-3-[[(2-methylpropoxy)carbonyl]amino]-, (2aR, 4S, 4aS, 6R, 9S, 11S, 12S, 12aR, 12bS) -12b-(acetyloxy) -12-(benzoyloxy) -2a, 3, 4, 4a, 5, 6, 9, 10, 11, 12, 12a, 12b-dodecahydro-6, 11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1Hcyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (2R,3S)- (9CI) (CA INDEX NAME) -

Absolute stereochemistry.

CN 2-Furanpropanoic acid, α -hydroxy- β -[[(2methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy) -12-(benzoyloxy) -2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-

methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)-

(CA INDEX NAME) (9CI)

352698-45-4 CAPLUS

RN

RN 352598-46-5 CAPLUS 3-Thiophenepropanoic acid, α -hydroxy- β -[[(2-methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-17-6 CAPLUS
4-Hexenoic acid, 2-hydroxy-5-methyl-3-[[(2-methylpropoxy)carbonyl]amino]-,
(2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4[(ethexycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1Hcyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-49-8 CAPLUS
CN 2-Furanpropanoic acid, α-hydroxy-β-[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 352698-50-1 CAPLUS

CN 2-Thiophenepropanoic acid, α -hydroxy- β -[[(2E)-1-oxo-2butenyl]amino]-, (2aR, 4S, 4aS, 6R, 9S, 11S, 12S, 12aR, 12bS)-12b-(acetyloxy)-12-(benzoyloxy) -2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8;13,13-tetramethyl-5-oxo-7,11-methano-1Hcyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, $(\alpha R, \beta R)$ - (9CI) INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN352698-51-2 CAPLUS CN

2-Furanpropanoic acid, α -hydroxy- β -[(3-methyl-1-oxo-2butenyl)amino]-, (2aR, 4S, 4aS, 6R, 9S, 11S, 12S, 12aR, 12bS)-12b-(acetyloxy)-12-(benzoyloxy) -2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[[(phenylmethoxy)carbonyl]oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, $(\alpha R, \beta R)$ (9CI) (CA INDEX NAME)

RN 352698-53-4 CAPLUS

CN 2-Furanpropanoic acid, α-hydroxy-β-[(3-methyl-1-oxo-2-butenyl)amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-54-5 CAPLUS

CN 2-Furanpropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino] - α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12- (benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[[(phenylmethoxy)carbonyl]oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β R)- (9CI) (CA INDEX NAME)

RN 352698-55-6 CAPLUS

CN ' 2-Furanpropanoic acid, α-hydroxy-β-[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 352598-56-7 CAPLUS
CN 2-Thiophenepropanoic acid, α-hydroxy-β-[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[[(phenylmethoxy)carbonyl]oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 352698-57-8 CAPLUS

CN 2-Thiophenepropanoic acid, α-hydroxy-β-[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 352698-58-9 CAPLUS

CN 3-Furanpropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (α R, β S)- (9CI) (CA INDEX NAME)

RN 352698-59-0 CAPLUS

CN 3-Furanpropanoic acid, α-hydroxy-β-[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 352698-60-3 CAPLUS

CN 3-Furanpropanoic acid, α-hydroxy-β-[[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 352698-61-4 CAPLUS 3-Thiophenepropanoic acid, α -hydroxy- β -[[(2-methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-ylester, (α R, β S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-62-5 CAPLUS
CN 3-Thiophenepropanoic acid, α-hydroxy-β-{[(2E)-1-oxo-2-butenyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 352698-63-6 CAPLUS
CN 3-Thiophenepropanoic acid, α-hydroxy-β-[[(2E)-1-oxo-2-butenyl]amino] , (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 352698-64-7 CAPLUS
CN Cyclopropanepropanoic acid, α-hydroxy-β-[[(2methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b(acetyloxy)-12-(benzoyloxy)-4-[(ethoxycarbonyl)oxy]2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl
ester, (αR,βS)- (9CI) (CA INDEX NAME)

RN 352698-65-8 CAPLUS
CN Cyclopropanepropanoic acid, α-hydroxy-β-[[(2methylpropoxy)carbonyl]amino]-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro6,11-dihydroxy-4-[(methoxycarbonyl)oxy]-4a,8,13,13-tetramethyl-5-oxo-7,11methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 352698-66-9 CAPLUS
CN Cyclopropanepropanoic acid, β-[[(1,1-dimethylethoxy)carbonyl]amino]α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12(benzoyloxy)-4-[(ethoxycarbonyl)oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βS)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:581700 CAPLUS

DOCUMENT NUMBER:

135:152982

TITLE:

Preparation of C10 heterosubstituted acetate taxanes

as antitumor agents

INVENTOR(S):

Holton, Robert A.

PATENT ASSIGNEE(S):

Florida State University Research Foundation, Inc.,

USA

SOURCE:

PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.				KIND DATE				APPLICATION NO.							DATE				
WO 2001056564			A1 20010809			WO 2001-US3553						20010202							
		W:	ΑE,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,	
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US 2004024051	A 1	20040205	US	2003-609301		20030627
US 2004097579	A1	20040520	US	2003-680649		20031007
PRIORITY APPLN. INFO.:			US	2000-179669P	P	20000202
			US	2000-179670P	P	20000202
			US	2000-179671P	P	20000202
			US	2000-179672P	P	20000202
		•	US	2000-179684P	P	20000202
			US	2000-179782P	P	20000202
			US	2000-179793P	P	20000202
			US	2000-179794P	P	20000202
			US	2001-775912	A1	20010202
		•	US	2001-776426	A3	20010202
			WO	2001-US3553	W	20010202
		•				

OTHER SOURCE(S):

MARPAT 135:152982

AB Taxanes of formula I [R1 = H, OH; R2 = acyloxy; R3 = keto, OH, acyloxy; R4 = heterosubstituted acetate; X1 = alkyl, alkenyl, Ph, heterocyclyl; X2 = acyl, CO2alkyl, CO2heterocyclyl, etc.], having a heterosubstituted acetate substituent at C(10), are prepared as antitumor agents. Thus, II was prepared and had in vitro cytotoxicity of ID50 < 1 nm against HCT116 cells.

IT 352427-29-3P

II

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of C10 heterosubstituted acetate taxanes as antitumor agents) 352427-29-3 CAPLUS

CN ?=Furanpropanoic acid, β-[[(1,1-dimethylpropoxy)carbonyl]amino]-α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-4-[[(phenylmethoxy)carbonyl]oxy]-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, (αR,βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Ъ3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

8

ACCESSION NUMBER:

1999:656007 CAPLUS

DOCUMENT NUMBER:

131:272040

TITLE:

Preparation of $\Delta 6,7$ -taxols and oxazolidine

protected intermediates as antineoplastic agents

Kelly, Robert C.; Skulnick, Harvey I.

INVENTOR (S):

Pharmacia and Upjohn Co., USA

PATENT ASSIGNEE(S): SOURCE:

U.S., 63 pp., Cont.-in-part of Appl. No.

PCT/US93/11827.

CODEN: USXXAM

COCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	TENT :						DATE				ĻICAT					ATE .		
	5965															9951:	20.7	
WO	9413	655			A1		1994	0623		WO	1993-	US11	827		1	9931:	213	
	W:	ΑT,	AU,	BB,	BG,	BR	BY,	CA,	CH,	CZ	, DE,	DK,	ES,	FI,	GB,	HU,	JP,	
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							CM,									•	•	•
WO	9429		•	•				-	-		-	-	-	-		9940	603	•
	W:	AT,	AU,	BB,	BG,	BR	BY,	CA,	CH,	CN	, CZ,	DE,	DK,	ES,	FI,	JP,	KP,	
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ZA	9404																	
PRIORIT							_,				1993-							
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OTHER S	OURCE	(s):			MAR	PAT	131:	2720		U.S	T > 7 3 3 "	1262	٠.	•	ъ т	,,,,,		
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GI

Oxazolidine protected taxol analogs of formula I [R1 = Me, Ph, alkyl, alkoxy, halo, furyl, naphthyl, etc.; R2 = CHO, COPh, acyl, CONHPh, etc.; R3 = alkoxy-substituted phenyl; R4 = H, Ac, R5 = acyl, alkoxycarbonyl, etc.], which are useful intermediates to make various taxol analogs are prepared Also, 7-deoxy-Δ6,7-taxols and 7β,8β-methanotaxols are prepared Thus, II was prepared from 7-triethylsilylbaccatin III and (4S,5R)-N-benzoyl-2-(2,4-dimethoxyphenyl)-4-phenyl-5-oxazolidinecarboxylic acid potassium salt (preparation given). The biol. activity of 7-deoxy-Δ6,7-taxols against L1210 leukemia is tested. Pharmaceutical compns. containing 7-deoxy-Δ6,7-taxols are described.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of $\Delta 6.7$ -taxols and oxazolidine protected intermediates as antineoplastic agents)

PN 158810-72-1 CAPLUS

CN

Benzenepropanoic acid, β -[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[[(2,2-dichloroethoxy)carbonyl]oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-ylester, (α R, β S)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 71 THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:590913 CAPLUS

DOCUMENT NUMBER:

125:301270

TITLE:

Preparation of antineoplastic $\Delta 6,7$ -taxols

INVENTOR(S):

Kelly, Robert C.; Johnson, Roy A.; Skulnick, Harvey

I.; Nidy, Eldon G.

PATENT ASSIGNEF(S):

Upjohn Co., USA

SOUP.CE:

AB

U.S., 66 pp., Cont.-in-part of U.S. Ser. No. 122, 974

abandoned.

CODEN: USXXAM

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5556878	-	19960917	US 1994-258019	19940610
PT 703909	T	20001031	PT 1994-920688	19940603
ZA 9404034	Α	19951208	ZA 1994-4034	19940608
PRIORITY APPLN. INFO.:			US 1993-76337	B2 19930611
			US 1993-122974	B2 19930917
OTHER SOURCE(S):	MARPAT	125:301270		

$$R^{2}NH$$
 O Me Me Me H O OAC OR^{4}

Ι

R3 = acyloxy, acylamino; R4 = H, Ac; R5 = H, (un)substituted Bz] were prepared for use as anticancer agents. N-debenzoyl-N-tert-butoxycarbonyl-7deoxy-Δ6,7-taxol (II) was prepared from N-debenzoyl-N-tertbutoxycarbonyl-2'-trichloroethoxycarbonyltaxol by treatment with DAST. had an IC50 against L1210 leukemia of 0.0016 μ g/mL.

IT 158810-72-1P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of antineoplastic Δ6,7 -taxols)

RN 158810-72-1 CAPLUS

CN Benzenepropanoic acid, β-[[(1,1-dimethylethoxy)carbonyl]amino]- α -hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy) -4-[[(2,2-dichloroethoxy)carbonyl]oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-yl ester, $(\alpha R, \beta S)$ - (9CI)(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1994:680920 CAPLUS

DOCUMENT NUMBER:

121:280920

TITLE:

Preparation of 7-halo- and 7β,8β-

methanotaxols, their antineoplastic use and pharmaceutical compositions containing them Hester, Jackson B., Jr.; Johnson, Roy A.; Kelly,

INVENTOR (S):

Robert C.; Midy, Eldon G.; Skulnick, Harvey I.

PATENT ASSIGNEE(S): Upjohn Co., USA

SOURCE:

PCT Int. Appl., 127 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

3

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO		KI	KIND DATE		APPL		DATE					
				, :									
WO 9413655			A	1 199	WO 1	993-		19931213					
	W: A'	Γ, AU,	BB, BG	, BR, 31	Z, CA,	CH, CZ,	DE,	DK.	ES,	FI,	GB,	HU,	JP,
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	Si	D, SE,	SK, UA	, US, U	s, US,	US, UZ,	VN						
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	. В	F, BJ,	CF, CG	, CI, CI	1, GA,	GN, ML,	MR,	ΝE,	SN,	TD,	TG	•	
	ZA 930924	7	Α	199	950609	ZA 1	993-	9247			1:	99312	209
	IL 107950		А	1 200	010430	IL 1	993-	1079	50		1:	9931	209

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AA 19940623 CA 1993-2149021
A1 19940704 AU 1994-57411
B2 19980219
A1 19951004 EP 1994-903483
B1 20021023
                                                                                                                                                                    19931213
            CA 2149021
            AU 9457411
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            AU 687027
            EP 674630
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           EP 674630
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 08506568
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JP 3441458
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A2 19961230
HU 1995-1733
RU 2125996
C1 19990210
RU 1995-114377
JP 3481897
B6 20010911
SK 1995-788
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SK 281897
B6 20010911
SK 1995-788
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B6 20030115
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T3 20030616
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CN 1062561
B 20010228
TW 389758
B 20000511
TW 1993-82110645
JP 31215
CA 2161328
AA 19941222
CA 1994-2161328
JP 3940603
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, JP, KP, KR, NL, NO, RU, US
RW: AT, BE, CH, DE, DK, GB, LU, MC, NL, PT, SE, CG, CM, ML, MR, NE, SN
AU 9471388
A1 19950103
AU 1994-71388
JP 300003
                    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
          AU 9471388 A1 19950103 AU 1994-71388 19940603
EP 703909 A1 19960403 EP 1994-920688 19940603
EP 703909 B1 20000426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
       THE CH, DE, CH, DE, SR, ES, FR, GB, GR, 1E, 11, L1, L0, MC, NL, P1, SB, CP 09511212

T2 19971111 JP 1994-501878

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NZ 330671 A 20000128 NZ 1994-330671

19940603

EP 982301 A2 20000301 EP 1999-118033

19940603

EP 982301 B1 20030910

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                             IE, SI
           EP 982302 A2 20000301 EP 1999-118034 19940603.
EP 982302 A3 20000719
EP 982302 B1 20040414
           EP 982302
                    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
          IE, SI
PRIORITY APPLN. INFO.:
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WO 1993-US11827 W 19931213 AU 1994-71388 A3 19940603 EP 1994-920688 A3 19940603 WO 1994-US6035 W 19940603

OTHER SOURCE(S):

MARPAT 121:280920

Title compds. I (R1 = Me, (substituted) Ph, 2-furyl, 2-thienyl, 1- or ÀΒ 2-naphthyl, 3,4(OCH2O)C6H3; R2 = H, HCONH, C1-10 alkylCONH, (substituted) phenyl-CONH, HO, phthalimido, etc.; R3 = H, PhCONH, Me3CO2CNH; with the proviso that R2 and R3 \neq H; R4 = H, HO, AcO, Cl3CCH2O2CO, PhCONH, etc.; R5 = H, HO, with the overall proviso that when R5 = HO, R4 is Heard with the further proviso that when R5 = H, R4 is other than H; R6 = HH when R7 = α -R71 β -R72 where one of R71 and R72 is X, where X = halo; R8 = Me; or R6 = HH when R7 is α -H β -R74 where R74R8 = cyclopropyl; R10 = H, Ac) and a salt thereof, are prepared I are useful for the same cancers for which taxol has been shown active. N-debenzoyl-N-(tert-bytyloxycarbonyl)-2'-troc-taxol (preparation given) was reacted with dimethylaminosulfur trifluoride to give B-debenzoyl-N-(tertbutyloxycarbonyl) -2'-[[(2,2,2-trichloroethyl)oxy]carbonyl] -7-deoxy-1fluorotaxol which was treated with In to give N-debenzoyl-N-(tertbutyloxycarbonyl)-7-deoxy-7-fluorotaxol (II). The EC50 of II against L1210 leukemia was 0.0038 µg/mL. Capsule and tablet formulations of are given.

Ι

IT 158810-72-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of antineoplastic agents)

RN 158810-72-1 CAPLUS

CN Benzenepropanoic acid, β-[[(1,1-dimethylethoxy)carbonyl]amino]-α-hydroxy-, (2aR,4S,4aS,6R,9S,11S,12S,12aR,12bS)-12b-(acetyloxy)-12-(benzoyloxy)-4-[[(2,2-dichloroethoxy)carbonyl]oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-6,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1H-cyclodeca[3,4]benz[1,2-b]oxet-9-ylester, (αR,βS)- (9CI) (CA INDEX NAME)

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